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                  IFICDB, IFIPAT, and IFIUDB enhanced with new custom
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NEWS 15 MAR 31 CAS REGISTRY enhanced with additional experimental
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                  CA/CAplus and CASREACT patent number format for U.S.
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NEWS 19 APR 04 STN AnaVist, Version 1, to be discontinued
NEWS 20 APR 15 WPIDS, WPINDEX, and WPIX enhanced with new
                  predefined hit display formats
NEWS 21 APR 28 EMBASE Controlled Term thesaurus enhanced
NEWS 22 APR 28 IMSRESEARCH reloaded with enhancements
NEWS EXPRESS FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3.
              AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008
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COST IN U.S. DOLLARS
                                               SINCE FILE
                                                              TOTAL
                                                    ENTRY
                                                            SESSION
FULL ESTIMATED COST
                                                    0.21
                                                               0.21
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=> s gepirone
L1
            3 GEPIRONE
=> s gepirone/cn
L2
            1 GEPIRONE/CN
=> d
L2
    ANSWER 1 OF 1 REGISTRY COPYRIGHT 2008 ACS on STN
RN
    83928-76-1 REGISTRY
    Entered STN: 16 Nov 1984
ED
    2,6-Piperidinedione, 4,4-dimethyl-1-[4-[4-(2-pyrimidinyl)-1-
    piperazinvllbutvll- (CA INDEX NAME)
OTHER NAMES:
CN
    Gepirone
DR
    104699-09-4
    C19 H29 N5 O2
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LĊ
               ADISINSIGHT, ADISNEWS, ANABSTR, BEILSTEIN*, BIOSIS,
      BIOTECHNO, CA, CAPLUS, CASREACT, CBNB, CHEMCATS, CHEMINFORMRX, CIN,
      DDFU, DRUGU, EMBASE, IMSDRUGNEWS, IMSPATENTS, IMSRESEARCH, IPA, MEDLINE,
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                    WHO
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PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

282 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
283 REFERENCES IN FILE CAPLUS (1907 TO DATE)

SINCE FILE

ENTRY

13.20

TOTAL

13.41

SESSION

=> sel rn name E1 THROUGH E2 ASSIGNED

=> fil capl uspatf wpids COST IN U.S. DOLLARS

COST IN U.S. DOLLARS
FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 13:08:57 ON 19 MAY 2008
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CA INDEXING COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'WPIDS' ENTERED AT 13:08:57 ON 19 MAY 2008 COPYRIGHT (C) 2008 THOMSON REUTERS

=> s e1-2 L3 1017 (GEPIRONE/BI OR 83928-76-1/BI)

=> s sexual or impoten? or orgasm? or arousal L4 77033 SEXUAL OR IMPOTEN? OR ORGASM? OR AROUSAL

=> s 13 and 14 L5 262 L3 AND L4

=> s 13 (S) 14 L6 20 L3 (S) L4

=> dup rem 16 PROCESSING COMPLETED FOR L6 => d ibib abs 15-19

L7 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:796251 CAPLUS

DOCUMENT NUMBER: 142:86471

TITLE: Gepirone extended-release treatment of anxious depression: evidence from a retrospective subgroup

analysis in patients with major depressive disorder
AUTHOR(S): Alpert, Jonathan E.; Franznick, Dana A.; Hollander,

Steven B.; Fava, Maurizio

CORPORATE SOURCE: Depression Clinical and Research Program,
Massachusetts General Hospital, Boston, USA
SOURCE: Journal of Clinical Psychiatry (2004), 65(8),

1069-1075

CODEN: JCLPDE; ISSN: 0160-6689
PUBLISHER: Physicians Postgraduate Press, Inc.

DOCUMENT TYPE: Journal LANGUAGE: English

The aim of this study was to evaluate the efficacy and tolerability of gepirone extended-release (ER) tablets in patients with major depressive disorder (MDD) and high ratings of anxiety (anxious depression). This subgroup anal. was derived from an 8-wk, double-blind, placebo-controlled study of gepirone ER in patients with MDD. Male and female patients 18 to 69 yr of age who met DSM-IV criteria for MDD and had high ratings of anxiety (Hamilton Rating Scale for Depression [HAM-D-17] total score ≥ 20 and HAM-D-17 factor I [anxiety/somatization] score > 6) were included in this subgroup anal. Eligible patients with anxious depression were randomly assigned to receive either placebo or gepirone ER, 20 mg to 80 mg daily. Patient assessments were performed at weeks 1, 2, 3, 4, 6, and 8. Treatment efficacy was evaluated by mean HAM-D-17 total scores and mean changes from baseline in (1) HAM-D-17 total scores, (2) HAM-D-17 factor I (anxiety/somatization) scores, and (3) HAM-D-17 item 12 (anxiety, psychic) scores. All statistical tests were 2-sided and considered statistically significant if the p value was < .05. Between-group comparisons were analyzed using least-squares anal. of variance on the change from baseline at each visit with the last observation carried forward (LOCF). The Cochran-Mantel-Haenszel test adjusting for center was also performed on the percentage of patients in each treatment group at each visit (LOCF) who met the response criterion on the HAM-D-17 (≥50% decrease from baseline) or remission criterion (HAM-D-17 total score ≤7). Gepirone ER-treated patients (N = 58) experienced a statistically significant (p < .05) reduction in mean HAM-D-17 total score at week 3, 6, and 8 compared with placebo-treated patients (N = 75). A statistically significant effect (p < .05) in favor of gepirone ER was observed in mean change from baseline in HAM-D-17 total scores and for HAM-D factor I (anxiety/somatization) scores from week 2 onward. A statistically significant (p ≤ .01) effect in favor of gepirone ER was observed in HAM-D-17 item 12 (anxiety, psychic) scores throughout the 8-wk trial. There were significantly more patients in the gepirone ER group compared with the placebo group who were HAM-D-17 responders (p < .05) at endpoint and who met the criteria for HAM-D-17 remission at week 3 (p < .05) and weeks 6 and 8 (p < .01). Overall, gepirone ER was well tolerated, with rates of weight gain and sexual dysfunction comparable to placebo. Adverse events were generally mild to moderate. The most commonly reported adverse events were dizziness and nausea. Gepirone ER is an effective and well-tolerated treatment for patients with anxious depression. REFERENCE COUNT:

39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 16 OF 19 USPATFULL on STN

ACCESSION NUMBER: 2003:146832 USPATFULL

TITLE: Antidepressant chroman and chromene derivatives of

3-(1,2,3,6-tetrahydro-4-pyridinyl)-1H-indole INVENTOR(S): Gross, Jonathan Laird, Robbinsville, NJ, UNITED STATES

Mewshaw, Richard Eric, King of Prussia, PA, UNITED

STATES

Stack, Gary Paul, Ambler, PA, UNITED STATES

PATENT ASSIGNEE(S): Wyeth, Madison, NJ (U.S. corporation)

| NUMBER | KIND | DAIL | |
|----------------|-----------------------------|-----------------------------------|---|
| | | | |
| US 2003100579 | A1 | 20030529 | |
| US 6667322 | B2 | 20031223 | |
| US 2002-264376 | A1 | 20021004 | (10) |
| | US 2003100579 US 6667322 | US 2003100579 A1 US 6667322 B2 | US 2003100579 A1 20030529 US 6667322 B2 20031223 |

NUMBER DATE US 2001-328120P 20011009 (60) US 2001-327417P 20011005 (60) US 2001-327400P 20011005 (60) PRIORITY INFORMATION:

DOCUMENT TYPE: Utility FILE SEGMENT:

APPLICATION LEGAL REPRESENTATIVE: Rebecca R. Barrett, Five Giralda Farms, Madison, NJ,

07940 NUMBER OF CLAIMS: 2.7

EXEMPLARY CLAIM: LINE COUNT: 1278

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Compounds of the formula ##STR1##

useful for the treatment of depression and other diseases such as obsessive compulsive disorder, panic attacks, generalized anxiety disorder, social anxiety disorder, sexual dysfunction, eating disorders, obesity, addictive disorders caused by ethanol or cocaine abuse and related illnesses.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 17 OF 19 USPATFULL on STN

ACCESSION NUMBER: 2003:113527 USPATFULL

TITLE: Antidepressant azaheterocyclylmethyl derivatives of 7,8-dihydro-6H-5-oxa-1-aza-phenanthrene

Zhao, Rulin, Pennington, NJ, UNITED STATES INVENTOR(S):

Tran, Megan, Hoboken, NJ, UNITED STATES

Mewshaw, Richard E., King of Prussia, PA, UNITED STATES Stack, Gary P., Ambler, PA, UNITED STATES

Wyeth, Madison, NJ, UNITED STATES (U.S. corporation) PATENT ASSIGNEE(S):

| | | NUMBER | KIND | DATE | |
|---------------------|----|-------------|------|----------|------|
| | | | | | |
| PATENT INFORMATION: | US | 2003078268 | A1 | 20030424 | |
| | US | 6903110 | B2 | 20050607 | |
| APPLICATION INFO.: | US | 2002-201862 | A1 | 20020724 | (10) |

NUMBER DATE

PRIORITY INFORMATION: US 2001-307667P 20010725 (60) DOCUMENT TYPE: Utility

FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Rebecca R. Barrett, 5 Giralda Farms, Madison, NJ, 07940 NUMBER OF CLAIMS: 20

EXEMPLARY CLAIM: LINE COUNT: 943 CAS INDEXING IS AVAILABLE FOR THIS PATENT. Compounds of the formula ##STR1##

> useful for the treatment of such as depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as pre-menstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder (including trichotillomania), social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa, bulimia nervosa, vasomotor flushing, cocaine and alcohol addition, sexual dysfunction (including premature ejaculation), and related illnesses.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L7 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:320602 CAPLUS

DOCUMENT NUMBER: 139:47026

TITLE: Gepirone extended-release: New evidence for efficacy in the treatment of major depressive disorder

AUTHOR(S): Feiger, Alan D.; Heiser, Jon F.; Shrivastava, Ram K.; Weiss, Kenneth J.; Smith, Ward T.; Sitsen, J. M. A.;

Gibertini, Michael CORPORATE SOURCE: Feiger Health Research Center, Wheat Ridge, CO, 80033,

SOURCE: Journal of Clinical Psychiatry (2003), 64(3), 243-249

CODEN: JCLPDE: ISSN: 0160-6689 PUBLISHER: Physicians Postgraduate Press, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

To assess the efficacy and tolerability of the 5-HT1A agonist gepirone in AB extended-release formulation (gepirone-ER) vs. placebo in patients with major depressive disorder. Patients aged 18 to 70 yr were eligible if they satisfied DSM-IV criteria for moderate-to-severe major depressive disorder and had a baseline 17-item Hamilton Rating Scale for Depression (HAM-D-17) score ≥ 20. After a 4- to 7-day placebo washout period, patients were randomly assigned to receive either placebo (N = 106) or gepirone-ER (20-80 mg/day) (N = 103) for 56 days. Assessments were done at weeks 1-4, 6, and 8. Mean change from baseline in HAM-D-17 score within the intent-to-treat group (gepirone, N = 101; placebo, N = 103) was significantly greater with gepirone-ER than placebo at weeks 3 (p = .013) and 8 (p = .018). Significantly (p < .05) more patients receiving gepirone-ER than placebo were HAM-D-17 responders at weeks 3 (33.7% vs. 18.8%, resp.) and 4 (38.6% vs. 24.8%, resp.) and HAM-D-17 remitters at weeks 6 (24.8% vs. 13.9%, resp.) and 8 (28.7% vs. 14.9%, resp.). Mean change from baseline for HAM-D-25 total score was significantly (p <.05) greater with gepirone-ER at all assessments except week 6.</p> The proportion of HAM-D-25 responders was significantly greater (p ≤.05) with gepirone-ER at weeks 3 and 8. Gepirone-ER was well tolerated: 9.8% of the gepirone-ER group and 2.8% of the placebo group discontinued due to adverse events. Common adverse events were considered mild and included dizziness, nausea, and insomnia. Gepirone-ER did not differ statistically compared with placebo in weight gain or sedation. Furthermore, preliminary evidence suggested that gepirone-ER may not be associated with sexual dysfunction. No serious adverse events occurred in gepirone-treated patients. Gepirone-ER is effective for the short-term treatment of major depressive disorder and is well tolerated.

L7 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:127244 CAPLUS

DOCUMENT NUMBER: 131 - 13770

TITLE: Modification of sexual behavior of Long-Evans male rats by drugs acting on the 5-HT1A receptor

AUTHOR(S): Rehman, Jamil; Kaynan, Ayal; Christ, George; Valcic,

Mira; Maavani, Saul; Melman, Arnold

CORPORATE SOURCE: Department of Urology, Albert Einstein College of Medicine/Montefiore Medical Center, Bronx, NY, 10467,

Brain Research (1999), 821(2), 414-425

SOURCE: CODEN: BRREAP; ISSN: 0006-8993

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal LANGUAGE . English

Modulation of the sexual behavior of male rats by the anxiolytic buspirone (S-20499) and its analog gepirone were compared to the effects of 8-OH-DPAT (or DPAT, a selective 5-HT1A reference agonist), and BMY-7378 (a selective 5-HTIA partial agonist). Long-Evans rats were used; modulation of copulatory behavior and alteration of penile reflexes were examined Modulation of copulatory behavior was assessed by three indexes: frequency and length of intromission, and latency of ejaculation. DPAT, at doses of 1-8 mg/kg, reduced these three indexes in a time dependent manner such that the effects peaked at 45 min and normalized at 90 min. The dose-effect relation (assessed 45 min after DPAT injection) is bell-shaped with an ED50 approx. 1 mg/kg on the ascending limb of the curve. The effects of buspirone (2 mg/kg) and gepirone (2 mg/kg) on copulatory behavior were indistinguishable from control. BMY-7378 alone and in combination with these other 5-HT1A agonists reduced copulatory behavior, though not statistically significant. Penile reflexes, including number of erections, cups and flips, were inhibited by these agents: DPAT>buspirone>gepirone (inactive at 2 mg/kg). Furthermore, the latency period to erection was at least doubled by DPAT (2 mg/kg). Buspirone and gepirone, however, reduced the latency period to erection. BMY-7378 inhibited penile reflexes when administered alone and even more in combination with DPAT or buspirone. Two butyrophenone analogs, spiperone (a 5-HT1A and dopamine D2 antagonist) and haloperidol (a D2 antagonist), were also tested for their interaction with DPAT. Both of these drugs (at 0.25 mg/kg, 60 min after administration) reduced all indexes of penile reflexes and copulation. Furthermore, in combination with DPAT (2 mg/kg, 45 min), the effects were synergistic such that sexual activity came nearly to a standstill. These opposing effects on putatively brain originated copulatory behavior and spinal mediated penile reflexes indicate that the effects of buspirone and DPAT on sexual behavior in the male rat may be possible at different parts of the central nervous system. If a tentative shared target site by DPAT and buspirone is the 5-HT1A receptor, than the same 5-HT receptor sub-type at different locations (brain, raphe nuclei, spinal cord and autonomic ganglia) may modulate rat sexual behavior in opposing ways.

REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d kwic 16-17

ANSWER 16 OF 19 USPATFULL on STN

SUMM . . . of serotonin selective reuptake inhibitor (SSRI) antidepressants, such as obsessive compulsive disorder, panic attacks, generalized anxiety disorder, social anxiety disorder, sexual dysfunction, eating disorders, obesity, addictive disorders caused by

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ethanol or cocaine abuse and related illnesses. Moreover, the compounds
       of this. . . have affinity for and agonists or partial agonist
       activity at brain 5-HT.sub.1A serotonin receptors. The 5-HT.sub.1A
      partial agonists buspirone and gepirone have demonstrated
       anxiolytic and antidepressant properties in clinical trials and the
       5-HT.sub.1A full agonists flesinoxan has been shown to be. . .
   ANSWER 17 OF 19 USPATFULL on STN
      . . of serotonin selective reuptake inhibitor (SSRI)
SUMM
       antidepressants, such as obsessive compulsive disorder, panic attacks,
       generalized anxiety disorder, social anxiety disorder, sexual
       dysfunction, eating disorders, obesity, addictive disorders caused by
       ethanol or cocaine abuse and related illnesses. Moreover, the compounds
       of this. . . invention have affinity for agonist or partial agonist
       activity at brain 5-HT.sub.1A serotonin receptors. The 5-HT.sub.1A
      partial agonists buspirone and gepirone have demonstrated
       anxiolytic and antidepressant properties in clinical trials and the
       5-HT.sub.1A full agonist flesinoxan has been shown to be. . .
=> s 5ht (A2) 1A
MISSING OPERATOR '5HT (A2'
The search profile that was entered contains terms or
nested terms that are not separated by a logical operator.
=> s 5ht (2A) 1A
          702 5HT (2A) 1A
=> d his
     (FILE 'HOME' ENTERED AT 13:07:47 ON 19 MAY 2008)
     FILE 'REGISTRY' ENTERED AT 13:08:21 ON 19 MAY 2008
             3 S GEPIRONE
              1 S GEPIRONE/CN
               SEL RN NAME
    FILE 'CAPLUS, USPATFULL, WPIDS' ENTERED AT 13:08:57 ON 19 MAY 2008
          1017 S E1-2
          77033 S SEXUAL OR IMPOTEN? OR ORGASM? OR AROUSAL
           262 S L3 AND L4
            20 S L3 (S) L4
            19 DUP REM L6 (1 DUPLICATE REMOVED)
           702 S 5HT (2A) 1A
=> s 14 and 18
          357 L4 AND L8
=> s 14 (S) 18
           72 L4 (S) L8
=> dup rem 110
PROCESSING COMPLETED FOR L10
            71 DUP REM L10 (1 DUPLICATE REMOVED)
=> d scan
L11 71 ANSWERS USPATFULL
       2002:254367 USPATFULL
      Antidepressant azaheterocyclymethyl derivatives of 2,3-dihydro-1,4-
      dioxino [2,3-f]quinoline
    NCLM: 514/291.000; 514/267.000
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L1 L2

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L6

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NCLS: 514/248.000; 544/234.000; 546/090.000; 544/251.000; 546/080.000

ICM A61K003-4741 ICS C07D491-02

IPCI A61K0003-4741 [ICM,7]; C07D0491-02 [ICS,7]; C07D0491-00

[ICS,7,C*]

Kinney, William A., Churchville, PA, United States

C07D0519-00 [I,A]

PAGE IMAGES NOT AVAILABLE FOR THIS PATENT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> d ibib abs 70-71

INVENTOR(S):

TC:

L11 ANSWER 70 OF 71 USPATFULL on STN

ACCESSION NUMBER: 91:44876 USPATFULL

TITLE: 4-azatricyclo[4.3.1.1(3,8)]undecylarylpiperazines with

anxiolytic activity

Lee, Nancy E., Attleboro, MA, United States
PATENT ASSIGNEE(S): American Home Products Corporation, New York, NY,

United States (U.S. corporation)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted

PRIMARY EXAMINER: Shen, Cecilia LEGAL REPRESENTATIVE: Patton, Walter NUMBER OF CLAIMS: 7

EXEMPLARY CLAIM: 1 LINE COUNT: 337

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

8 4-Azatricyclo[4.3.1.1(3,8)]undecylarylpiperazine compounds of this invention demonstrated affinity for the 5-hydroxytryptamine-lA receptor site (5-HT.sub.1A) and to a lesser extent, for dopamine-2 receptor sites (D.sub.2). Compounds with such a profile provide a treatment for CNS disorders such as anxiety, depression, and sexual disturbances without EPS liability.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L11 ANSWER 71 OF 71 USPATFULL on STN

ACCESSION NUMBER: 91:8912 USPATFULL

TITLE: Tertiary alkyl functionalized piperazine derivatives INVENTOR(S): Abou-Gharbia, Magid A., Glen Mills, PA, United States

Yardley, John P., Gulph Mills, PA, United States

Cliffe, Ian A., Slough, United Kingdom
PATENT ASSIGNEE(S): American Home Products Corp., New York, NY, United

States (U.S. corporation)
John Wyeth & Bro., Maidenhead, England (non-U.S.

John wyeth & B.

corporation)

NUMBER DATE

PRIORITY INFORMATION: GB 1989-9209 19890422 DOCUMENT TYPE: Utility

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Shen, Cecilia
LEGAL REPRESENTATIVE: Jackson, R. K.

NUMBER OF CLAIMS: 37
EXEMPLARY CLAIM: 1,6,7
LINE COUNT: 1149

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Compounds of the formula: ##STR1## in which R.sup.1 is alkvl; R.sup.2 and R.sup.3 are alkyl or taken together they are polymethylene, R.sup.2 and R.sup.3 complete a 5-norbornen-2-yl moiety; X is --CO.sub.2 --, --OCO--, --OCO.sub.2 --, --N(R.sup.7)CO--, --NHNHCO--, --ON(R.sup.7)CO--, --CON(R.sup.7)--, --N(R.sup.7)CO.sub.2 --, --OCON(R.sup.7)-- or --N(R.sup.7)CON(R.sup.8)--, wherein R.sup.7 and R.sup.8 are, independently, hydrogen, alkyl, phenyl, benzyl, substituted phenyl or substituted benzyl in which the substituents are halo, alkyl alkoxy, cyano, nitro or perhalomethyl; R.sup.4 is hydrogen or alkyl; R.sup.5 is hydrogen, alkyl, hydroxyalkyl, phenyl, benzyl, substituted phenyl or substituted benzyl in which the substituents are hydroxy, halo, alkyl alkoxy, trifluoromethyl, nitro, cyano, carbalkoxy, carboxamido, amino, alkylamino or dialkylamino; R.sup.6 is phenyl, benzyl, 2-, 3-, or 4-pyridinyl, 2-pyrimidinyl or 2-pyrazinyl any of which may be substituted by one or more hydroxy, halo, alkyl alkoxy, trifluoromethyl, nitro, cyano, carbalkoxy, carboxamido, amino, alkylamino or dialkylamino; n is one of the integers 0, 1, 2, 3, 4 or 5; or a pharmaceutically acceptable salt thereof, with the proviso that when X is --CON(R.sup.7) -- and R.sup.7 is alkyl, R.sup.6 is other than

2-pyrimidinyl, and when X is --CO.sub.2 -- and R.sup.1, R.sup.2 and R.sup.3 are methyl and n is 1, R.sup.6 is other than 3,5-di(trifluoromethyl)phenyl are antidepressant and/or anxiolytic agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

=> focus PROCESSING COMPLETED FOR L12 L13 45 FOCUS L12 1-

=> d ibiba bs 1-5 'IBIBA' IS NOT A VALID FORMAT 'BS' IS NOT A VALID FORMAT

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L13 ANSWER 1 OF 45 WPIDS COPYRIGHT 2008 THOMSON REUTERS on STN

AN 1996-383722 [38] WPIDS

CR 1991-007142; 1992-167071; 2002-138386

AB US 5545755 A UPAB: 20050826

8-Aminosulphonyl-2-aminotetralins of formula (I) are new: R = H or halo; RI = 8-SO2NR7R8; R2, R3 = H, or A; provided that one is A.; R4, R5 = H, A, (CH2)mCOR6 or (CH2)mCOR6; A = 1-8C alkyl, 2-8C alkenyl, 2-8C alkynyl, (CH2)m(3-8C)cycloalkyl, (CH2)m(3-8C)cycloalkenyl or (CH2)maryl; R6 - R8 = H, 1-4C alkyl, 1-4C alkenyl or 3-8C cycloalkyl; me 0 - 4; and p = 0 - 1

Also claimed are cpds. of formula (II).

USE - (I) are very selective 5HT-1A agonists

with little or no dopaminergic activity. (I) are useful in the treatment of CNS disorders e.g. depression, anxiety, psychoses, obsessive-compulsive behaviour, dementia and sexual impotence. (I) have

high oral potency and a long duration of action. Admin. is oral, rectal or parenteral. Dosage is 1-2000, pref. 5-500 mg/day, oral.

L13 ANSWER 2 OF 45 WPIDS COPYRIGHT 2008 THOMSON REUTERS on STN

1995-294130 [39] WPIDS

AB EP 668273 A1 UPAB: 20050512

1-indenyl ethyl 4-naphthalenyl piperazines of formula (I) and their addition salts are new. X = H, 1-3C alkoxy, or cyclopropyl methoxy, Y = H or methoxy.

USE - The compounds are 5HT(1A) agonists and 5HT2 antagonists. They may be used to treat anxiety, depression, sleep disorders, phobias, compulsive obsessional behaviour, disorders due to alcoholism, sexual behavioural disorders, food intake disorders, as well as vascular or cardiovascular disorders such as migraines and

Member (0002)

hypertension.

ABEO FR 2716193 A1 UPAB 20050512

1-indenyl ethyl 4-naphthalenyl piperazines of formula (I) and their addition salts are new. X = H, 1-3C alkoxy, or cyclopropyl methoxy, Y = H or methoxy.

USE - The compounds are 5HT(1A) agonists and

5HT2 antagonists. They may be used to treat anxiety, depression, sleep disorders, phobias, compulsive obsessional behaviour, disorders due to alcoholism, sexual behavioural disorders, food intake disorders, as well as vascular or cardiovascular disorders such as migraines and hypertension.

Member (0008)

ABEO JP 07252243 A UPAB 20050512

1-indenyl ethyl 4-naphthalenyl piperazines of formula (I) and their addition salts are new. X = H, 1-3C alkoxy, or cyclopropyl methoxy, Y = H or methoxy.

USE - The compounds are 5HT(1A) agonists and

5HT2 antagonists. They may be used to treat anxiety, depression, sleep disorders, phobias, compulsive obsessional behaviour, disorders due to alcoholism, sexual behavioural disorders, food intake disorders, as well as vascular or cardiovascular disorders such as migraines and hypertension.

Member (0011)

UPAB 20050512 ABEO ZA 9501235 A

1-indenvl ethyl 4-naphthalenyl piperazines of formula (I) and their addition salts are new. X = H, 1-3C alkoxy, or cyclopropyl methoxy, Y = H or methoxy.

USE - The compounds are 5HT(1A) agonists and

5HT2 antagonists. They may be used to treat anxiety, depression, sleep disorders, phobias, compulsive obsessional behaviour, disorders due to alcoholism, sexual behavioural disorders, food intake disorders, as well as vascular or cardiovascular disorders such as migraines and hypertension.

L13 ANSWER 3 OF 45 WPIDS COPYRIGHT 2008 THOMSON REUTERS on STN

AN 1996-020347 [02] WPIDS AB

WO 1995031988 A1 UPAB: 20050510

A pharmaceutical compsn. comprises (a) a cpd. having 5HT-1D antagonist activity, (b) a cpd. having 5HT-1A antagonist activity; and (c) a suitable carrier.

USE - The compsn. is for the treatment or prevention of CNS disorders. The two active components may be administered concurrently or non-concurrently and in the form of a kit comprising each in separate dosage forms. A single cpd. may also be used if it exhibits both 5HT-1A and 5HT-1D antagonist activity. The compsn. may be used to treat e.g mood disorders, especially depression, anxiety disorders, memory disorders, eating behaviour disorders. Parkinson's disease and dementia therein, neuroleptic-induced Parkinsonism, tardive dyskinesia and other psychiatric disorders, endocrine disorders, vasospasm and hypertension; disorders in the G.I tract where changes in motility and secretion are involved; and sexual dysfunction.

ADVANTAGE - Administration of the combination is more effective than administration of a single 5HT-1D or 5HT-1A antagonist in treating CNS disorders.

Member (0003)

ABEQ JP 10500674 W UPAB 20050510

A pharmaceutical compsn. comprises (a) a cpd. having 5HT-1D antagonist activity, (b) a cpd. having 5HT-1A antagonist activity; and (c) a suitable carrier.

USE - The compsn. is for the treatment or prevention of CNS disorders. The two active components may be administered concurrently or non-concurrently and in the form of a kit comprising each in separate dosage forms. A single cpd. may also be used if it exhibits both 5HT-1A and 5HT-1D antagonist activity. The compsn. may be used to treat e.g mood disorders, esp. depression, anxiety disorders, memory disorders, eating behaviour disorders. Parkinson's disease and dementia therein, neuroleptic-induced Parkinsonism, tardive dyskinesia and other psychiatric disorders, endocrine disorders, vasospasm and hypertension; disorders in the G.I tract where changes in motility and secretion are involved; and sexual dysfunction.

ADVANTAGE - Administration of the combination is more effective than administration of a single 5HT-1D or 5HT-1A antagonist in treating CNS disorders.

L13 ANSWER 4 OF 45 WPIDS COPYRIGHT 2008

THOMSON REUTERS on STN

AN 1996-335424 [34] WPIDS

CR 1997-224945; 1997-279789; 1998-260529; 1998-446150; 2001-158375

AB

EP 722941 A2 UPAB: 20060111 Hetero-oxy alkan-amines of formula (I) or their salts are new. r=0-4; s=0-1; D completes a pyrrolyl, imidazolyl, pyridinyl, pyrazinyl, pyridazinyl or pyrimidinyl ring; X = H , phenyl, OH or OMe; provided that X = H or Ph when r = 0; R = NHR1 or a qp. of formulae (i)-(iii); the dotted line is an opt. double bond; R1 = piperidinyl, piperazino, morpholino or pyrrolyl, all substd. with 0-1 phenyl or benzyl or 0-4 1-3C alkyl, 1-3C alkoxy or halo, wherein the phenyl or benzyl is opt. substd. with 0-2 1-3C alkyl, halo, CF3 or 1-3C alkoxy; a gp. of formula (iv) opt. substd. by 0-1 oxo or 0-2 1-3C alkyl, 1-3C alkoxy or halo; or 1-4C alkyl substd. with pyrrolyl, furyl, thienyl, pyridinyl, morpholinyl, piperidinyl, tetrahydro-pyrrolyl, piperazinyl, tetrahydrofuryl, benzazepinyl, di-benzazepinyl or quinolinyl, all substd. with 0-4 1-3C alkyl, 1-3C alkoxy or halo; n, m = 4-5; R2 = H; OH; CN; 1-4C alkyl, or phenyl or benzyl substd. with 0-2 1-3C alkyl, 1-3C alkoxy or halo; NH2 substd. with phenyl or benzyl substd. by 0-2 1-3C alkyl, 1-3C alkoxy, halo or CF3; or is absent when the dotted line is a double bond; R3 = 1-4C alkyl substd. by 0-2 phenyl substd. by 0-2 1-3C alkyl, 1-3C alkoxy or halo; 1-4C alkyl substd. with hydroxyimino or hydroxy; phenoxy substd. by 0-1 methylenedioxy or 0-2 1-3C alkyl, 1-3C alkoxy, CF3 or halo; dibenzocycloheptenyl, benzodioxolyl, benzo-di-oxinyl or dibenzo-cyclohexenyl; phenyl, naphthyl, tetralinyl, tetrazolyl, benzimidazolyl, indolyl, benzofuryl, benzothienyl, piperidino or

morpholino substd. by 0-2 1-3C alkyl, 1-3C alkoxy, 4-8C cycloalkylalkoxy, halo, NO2, CF3, difluoromethyl, OH or trifluoromethoxy, or substd. with 0-1 phenyl, piperidinonyl, hexahydro-pyridazinonyl or piperazinonyl substd. with 0-2 1-3C alkyl, oxo, 1-3C alkoxy, halo or CF3; provided that R3 is not halo- or CF3-substd. pheny1 when R2 = OH; or R2+R3 = 1-4C alkylidene substd. by 0-2 phenyl which is substd. by 0-2 1-3C alkyl, 1-3C alkoxy, halo or CF3; R5 = 1-6C alkyl or 1-4C acyl; 1-3C alkyl substd. by benzodioxinyl or benzodioxolyl substd. on the phenyl with 0-2 1-3C alkyl, 1-3C alkoxy or halo; pyridinyl, pyrimidinyl, indolyl, benzofuryl, benzothienyl, pyrazinyl, quinolinyl, isoquinolinyl, pyridazinyl or quinazolinyl substd. by 0-2 1-3C alkyl, CF3, 1-3C alkoxy or halo; or a gp. of formula (v); B=0 or S; Y=a residue which combines with the atoms to which it is attached to complete a triazolyl, imidazolyl, thiazolyl or pyrrolyl; A completes an azabicyclo (octyl, nonyl or decyl) ring or a gp. of formula (vi)-(viii); M completes an indanyl, indenyl, pyrrolidinyl, tetralinyl, benzopyranyl, dihydroindolyl, naphtho-dihydro-furanyl, benzo-dihydrothienyl, benzo-dihydro-furanyl, benzo-dihydropyranyl, naphtho-dihydrothienyl or naphtho-dihydropyrrolyl ring wherein the spiro junction is not to an aromatic ring, substd. with 0-2 1-3C alkyl, oxo, 1-3C alkoxy, pyrrolidinyl- or piperidinyl- 1-3C alkoxy, 1-2C alkylenedioxy, phenoxy, benzyloxy, phenyl or halo; p = 0-2; R6, R7 = phenyl substd. with 0-2 1-3C alkyl, 1-3C alkoxy or halo; or R6+R7 complete a fluorenvl or dihydroanthracenvl ring; or R6, R7 = H provided that p must not be 1; q = 0-2; Q completes a phenyl or naphthyl ring substd. with 0-2 1-3C alkyl, 1-3C alkoxy or halo; R8 = H or 1-3C alkyl.

USE - (1) are used in antagonising the SHT-lA receptor. (1) can thus be used to treat and alleviate symptoms caused by withdrawal or partial withdrawal from the use of tobacco or of nicotine. (1) may also be used to treat anxiety, depression, hypertension, cognitive disorders, psychosis, sleep disorders, gastric motility disorders, sexual dysfunction; brain trauma, memory loss; eating disorders and obesity, substance abuse, obsessive-compulsive disease, panic disorder and migraine. Certain (1) can also be used to enhance the action of a serotonin re-uptake inhibitor. (1) can also be used to treat pain, partic. neuropathic pain, bulimia, premenstrual syndrome or late luteal syndrome, memory loss, dementia of ageing, social phobia, attention-deficit hyperactivity disorder, disruptive behaviour disorders, impulsive control disorders, borderline personality disorder, chronic fatigue syndrome, premature ejaculation, erectile difficulty, anorexia nervosa, disorders of sleep, autism, mutism and trichotillomania.

Member (0002)

ABEO WO 1996022290 A1 UPAB 20060111

Hetero-oxy alkan-amines of formula (I) or their salts are new. r=0-4; s=0-1; D completes a pyrrolyl, imidazolyl, pyridinyl, pyrazinyl, pyridazinyl or pyrimidinyl ring; X = H , phenyl, OH or OMe; provided that X = H or Ph when r = 0; R = NHR1 or a gp. of formulae (i)-(iii); the dotted line is an opt. double bond; R1 = piperidinyl, piperazino, morpholino or pyrrolyl, all substd. with 0-1 phenyl or benzyl or 0-4 1-3C alkyl, 1-3C alkoxy or halo, wherein the phenyl or benzyl is opt. substd. with 0-2 1-3C alkyl, halo, CF3 or 1-3C alkoxy; a gp. of formula (iv) opt. substd. by 0-1 oxo or 0-2 1-3C alkyl, 1-3C alkoxy or halo; or 1-4C alkyl substd. with pyrrolyl, furyl, thienyl, pyridinyl, morpholinyl, piperidinyl, tetrahydro-pyrrolyl, piperazinyl, tetrahydrofuryl, benzazepinyl, di-benzazepinyl or quinolinyl, all substd. with 0-4 1-3C alkyl, 1-3C alkoxy or halo; n, m = 4-5; R2 = H; OH; CN; 1-4C alkyl, or phenyl or benzyl substd. with 0-2 1-3C alkyl, 1-3C alkoxy or halo; NH2 substd. with phenyl or benzyl substd. by 0-2 1-3C alkyl, 1-3C alkoxy, halo or CF3; or is absent when the dotted line is a double bond; R3 = 1-4Calkyl substd. by 0-2 phenyl substd. by 0-2 1-3C alkyl, 1-3C alkoxy or halo; 1-4C alkyl substd. with hydroxyimino or hydroxy; phenoxy substd. by 0-1 methylenedioxy or 0-2 1-3C alkyl, 1-3C alkoxy, CF3 or halo;

dibenzocycloheptenyl, benzodioxolyl, benzo-di-oxinyl or dibenzo-cyclohexenyl; phenyl, naphthyl, tetralinyl, tetrazolyl, benzimidazolyl, indolyl, benzofuryl, benzothienyl, piperidino or morpholino substd. by 0-2 1-3C alkyl, 1-3C alkoxy, 4-8C cycloalkylalkoxy, halo, NO2, CF3, difluoromethyl, OH or trifluoromethoxy, or substd. with 0-1 phenyl, piperidinonyl, hexahydro-pyridazinonyl or piperazinonyl substd. with 0-2 1-3C alkyl, oxo, 1-3C alkoxy, halo or CF3; provided that R3 is not halo- or CF3-substd. phenyl when R2 = OH; or R2+R3 = 1-4C alkylidene substd. by 0-2 phenyl which is substd. by 0-2 1-3C alkyl, 1-3C alkoxy, halo or CF3; R5 = 1-6C alkyl or 1-4C acyl; 1-3C alkyl substd. by benzodioxinyl or benzodioxolyl substd. on the phenyl with 0-2 1-3C alkyl, 1-3C alkoxy or halo; pyridinyl, pyrimidinyl, indolyl, benzofuryl, benzothienyl, pyrazinyl, quinolinyl, isoquinolinyl, pyridazinyl or quinazolinyl substd. by 0-2 1-3C alkyl, CF3, 1-3C alkoxy or halo; or a gp. of formula (v); B=O or S; Y=a residue which combines with the atoms to which it is attached to complete a triazolyl, imidazolyl, thiazolyl or pyrrolyl; A completes an azabicyclo (octyl, nonyl or decyl) ring or a gp. of formula (vi)-(viii); M completes an indanyl, indenyl, pyrrolidinyl, tetralinyl, benzopyranyl, dihydroindolyl, naphtho-dihydro-furanyl, benzo-dihydrothienyl, benzo-dihydro-furanyl, benzo-dihydropyranyl, naphtho-dihydrothienyl or naphtho-dihydropyrrolyl ring wherein the spiro function is not to an aromatic ring, substd. with 0-2 1-3C alkvl, oxo, 1-3C alkoxy, pyrrolidinyl- or piperidinyl- 1-3C alkoxy, 1-2C alkylenedioxy, phenoxy, benzyloxy, phenyl or halo; p = 0-2; R6, R7 = phenyl substd. with 0-2 1-3C alkyl, 1-3C alkoxy or halo; or R6+R7 complete a fluorenyl or dihydroanthracenyl ring; or R6, R7 = H provided that p must not be 1; q = 0-2; Q completes a phenyl or naphthyl ring substd. with 0-21-3C alkyl, 1-3C alkoxy or halo; R8 = H or 1-3C alkyl.

USE - (I) are used in antagonising the SHT-lA receptor. (I) can thus be used to treat and alleviate symptoms caused by withdrawal or partial withdrawal from the use of tobacco or of nicotine. (I) may also be used to treat anxiety, depression, hypertension, cognitive disorders, psychosis, sleep disorders, gastric motility disorders, sexual dysfunction; brain trauma, memory loss, eating disorders and obesity, substance abuse, obsessive-compulsive disease, panic disorder and migraine. Certain (I) can also be used to enhance the action of a serotonin re-uptake inhibitor. (I) can also be used to treat pain, partic. neuropathic pain, bulimia, premenstrual syndrome or late luteal syndrome, memory loss, dementia of ageing, social phobia, attention-deficit hyperactivity disorder, disruptive behaviour disorders, impulsive control disorders, borderline personality disorder, chronic fatigue syndrome, premature ejaculation, erectile difficulty, anorexia nervosa, disorders of sleep, autism, mutism and trichotillomania.

Member (0010) ABEO JP 10512861 W UPAB 20060111

Hetero-oxy alkan-amines of formula (I) or their salts are new. r=0-4; s=0-1; D completes a pyrroly1, imidazoly1, pyridiny1, pyraziny1, pyridiny1 or pyrimidiny1 ring; X = H , pheny1, O Hor OMe; provided that X = H or Ph when r = 0; R = NHR1 or a gp. of formulae (1)-(iii); the dotted line is an opt. double bond; R1 = piperidiny1, piperazino, morpholino or pyrroly1, all substd. with 0-1 pheny1 or benzy1 is opt. substd. with 0-2 1-3C alkoxy or halo, wherein the pheny1 or benzy1 is opt. substd. with 0-2 1-3C alky1, 1-3C alkox, or 0-2 1-3C alky1, 1-3C alkoxy or halo; or 1-4C alky1 substd. with pyrroly1, fury1, thieny1, pyridiny1, morpholiny1, piperidiny1, tetrahydro-pyrroly1, piperaziny1, tetrahydrofury1, benzazepiny1, di-benzazepiny1 or quinoliny1, all substd. with 0-4 1-3C alkoxy or halo; n, m = 4-5; R2 = H; OH; CN; 1-4C alky1, or pheny1 or benzy1 substd. with 0-2 1-3C alkxy1, 1-3C alkoxy or halo; nH2 substd. with pheny1 or benzy1 substd. with pheny1 or benzy1 substd. by 0-2 1-3C alky1, 1-3C alkoxy or halo; nH2 substd. with pheny1 or benzy1 substd. by 0-2 1-3C alky1, 1-3C alkoxy or halo; nH2 substd. with pheny1 or benzy1 substd. by 0-2 1-3C alky1, 1-3C alkoxy or halo; nH2 substd. with pheny1 or benzy1 substd. by 0-2 1-3C alky1, 1-3C alkoxy or halo; nH2 substd. with pheny1 or benzy1 substd. by 0-2 1-3C alky1, 1-3C alkoxy or halo; nH2 substd. with pheny1 or benzy1 substd. by 0-2 1-3C alky1, 1-3C alkoxy or halo; nH2 substd. with pheny1 or benzy1 substd. by 0-2 1-3C alky1, 1-3C alky3 = 1-4C

alkyl substd. by 0-2 phenyl substd. by 0-2 1-3C alkyl, 1-3C alkoxy or halo; 1-4C alkyl substd. with hydroxyimino or hydroxy; phenoxy substd. by 0-1 methylenedioxy or 0-2 1-3C alkyl, 1-3C alkoxy, CF3 or halo; dibenzocycloheptenyl, benzodioxolyl, benzo-di-oxinyl or dibenzo-cyclohexenyl; phenyl, naphthyl, tetralinyl, tetrazolyl, benzimidazolyl, indolyl, benzofuryl, benzothienyl, piperidino or morpholino substd. by 0-2 1-3C alkyl, 1-3C alkoxy, 4-8C cycloalkylalkoxy, halo, NO2, CF3, difluoromethyl, OH or trifluoromethoxy, or substd. with 0-1 phenyl, piperidinonyl, hexahydro-pyridazinonyl or piperazinonyl substd. with 0-2 1-3C alkyl, oxo, 1-3C alkoxy, halo or CF3; provided that R3 is not halo- or CF3-substd, phenyl when R2 = OH; or R2+R3 = 1-4C alkylidene substd. by 0-2 phenyl which is substd. by 0-2 1-3C alkvl, 1-3C alkoxy, halo or CF3; R5 = 1-6C alkyl or 1-4C acyl; 1-3C alkyl substd. by benzodioxinyl or benzodioxolyl substd. on the phenyl with 0-2 1-3C alkyl, 1-3C alkoxy or halo; pyridinyl, pyrimidinyl, indolyl, benzofuryl, benzothienyl, pyrazinyl, quinolinyl, isoquinolinyl, pyridazinyl or quinazolinyl substd. by 0-2 1-3C alkyl, CF3, 1-3C alkoxy or halo; or a gp. of formula (v); B=O or S; Y=a residue which combines with the atoms to which it is attached to complete a triazolyl, imidazolyl, thiazolyl or pyrrolyl; A completes an azabicyclo (octyl, nonyl or decyl) ring or a gp. of formula (vi)-(viii); M completes an indanyl, indenyl, pyrrolidinyl, tetralinyl, benzopyranyl, dihydroindolyl, naphtho-dihydro-furanyl, benzo-dihydrothienyl, benzo-dihydro-furanyl, benzo-dihydropyranyl, naphtho-dihydrothienyl or naphtho-dihydropyrrolyl ring wherein the spiro junction is not to an aromatic ring, substd. with 0-2 1-3C alkyl, oxo, 1-3C alkoxy, pyrrolidinyl- or piperidinyl- 1-3C alkoxy, 1-2C alkylenedioxy, phenoxy, benzyloxy, phenyl or halo; p = 0-2; R6, R7 = phenyl substd. with 0-2 1-3C alkyl, 1-3C alkoxy or halo; or R6+R7 complete a fluorenyl or dihydroanthracenyl ring; or R6, R7 = H provided that p must not be 1; q = 0-2; Q completes a phenyl or naphthyl ring substd. with 0-2 1-3C alkyl, 1-3C alkoxy or halo; R8 = H or 1-3C alkyl.

USE - (1) are used in antagonising the SHT-1A receptor. (1) can thus be used to treat and alleviate symptoms caused by withdrawal or partial withdrawal from the use of tobacco or of nicotine. (1) may also be used to treat anxiety, depression, hypertension, cognitive disorders, psychosis, sleep disorders, gastric motility disorders, sexual dysfunction; brain trauma, memory loss, eating disorders, and obesity, substance abuse, obsessive-compulsive disease, panic disorder and migraine. Certain (1) can also be used to enhance the action of a serotonin re-uptake inhibitor. (1) can also be used to treat pain, partic. neuropathic pain, bulimia, premenstrual syndrome or late luteal syndrome, memory loss, dementia of ageing, social phobia, attention-deficit hyperactivity disorder, disruptive behaviour disorders, impulsive control disorders, borderline personality disorder, chronic fatigue syndrome, premature ejaculation, erectile difficulty, anorexia nervosa, disorders of sleep, autium, mutism and trichotillomania.

L13 ANSWER 5 OF 45 WPIDS COPYRIGHT 2008 THOMSON REUTERS on STN

AN 1997-279789 [25] WPIDS

CR

AB

1996-335424; 1997-224945; 1998-260529; 1998-446150; 2001-158375

US 5627196 A UPAB: 20060113 See also EP722941-A1 (96-335424134). Fused heterocyclic compounds of formula (I) and their salts are new: $r=0-4;\ s=0$ or 1; D=a residue which completes a pyrroly1 group; X=H, phenyl, OH or OMe; R=a group of formula (i); R2=0H, H, CM, Q, substituted amino, or phenyl or benzyl (both optionally substituted) or R2 is absent when the dotted line is a bond; R3=0 (optionally substituted by 1-2 phenyl (themselves optionally substituted), Q (substituted by hydroxyimino or OH), phenoxy (optionally substituted), dibenzocycloheptenyl, benzodioxolyl, benzodioxinyl or dibenzocyclohexenyl or phenyl, naphthyl, tetralinyl, tetrazolyl, piperidino or morpholino etc. (all optionally substituted); or R2+R3=a 1-4C alkylidene group (which is optionally substituted; R8=H or T; T=4-C alkylidene group (which is optionally substituted; R8=H or T; T=4-C alkylidene group (which is optionally substituted; R8=H or T; T=4-C alkylidene group (which is optionally substituted; R8=H or T; T=4-C alkylidene group (which is optionally substituted; R8=H or T; T=4-C alkylidene group (which is optionally substituted; R8=H or T; T=4-C alkylidene group (which is optionally substituted; R8=H or T; T=4-C alkylidene group (which is optionally substituted; R8=H or T; T=4-C alkylidene group (which is optionally substituted; R8=H or T; T=4-C

1-3C alkyl; Q = 1-4C alkyl; provided that: (a) X is H or phenyl when r is 0; (b) R3 is not phenyl (substituted by halo or CF3) when R2 is OH.

USE - (I) are capable of affecting (especially antagonising) the 5HT-1A receptor. They may be used in treatment of withdrawal symptoms (e.g. from tobacco), anxiety, depression, hypertension, cognitive disorders, psychosis, sleep disorders, gastric motility disorders, sexual dysfunction, brain trauma, memory loss, eating disorders, obesity, substance abuse, obsessive-compulsive disease, panic disorder, migraine, pain (especially neuropathic pain), bulimia, pre-menstrual syndrome, late luteal syndrome, alcoholism, post-traumatic syndrome, age related dementia, social phobia, attention-deficit hyperactivity disorder, disruptive behaviour disorders, impulsive control disorders, borderline personality disorder, chronic fatique syndrome, premature ejaculation, erectile difficulty, anorexia nervosa, sleep disorders, autism, mutism and trichotilomania.

=> d ibib abs 6-10

L13 ANSWER 6 OF 45 WPIDS COPYRIGHT 2008 THOMSON REUTERS on STN

ACCESSION NUMBER: 1997-224945 [20] WPIDS CROSS REFERENCE: 1996-335424; 1997-279789; 1998-260529; 1998-446150; CROSS REFERENCE:

2001-158375

DOC. NO. CPI: C1997-072058 [20] C1997-072058 [20]
New benzo:pyrrole derivs. - are useful as e.g. 5HT-1A TITLE:

substance abuse, cognitive disorders, psychosis, anxiety etc.

DERWENT CLASS:

B02 AUDIA J E; KRUSHINSKI J H; RASMUSSEN K; ROCCO V P; SCHAUS INVENTOR:

J M; THOMPSON D C; WONG D T

PATENT ASSIGNEE: (ELIL-C) LILLY & CO ELI COUNTRY COUNT: 1

PATENT INFO ABBR.:

PATENT NO KIND DATE WEEK LA PG MAIN IPC US 5614523 A 19970325 (199720)* EN 63[0]

APPLICATION DETAILS:

PATENT NO KIND APPLICATION DATE US 5614523 A CIP of US 1995-373823 19950117 US 5614523 A US 1995-470512 19950606

PRIORITY APPLN. INFO: US 1995-470512 19950606 US 1995-373823 19950117

AN 1997-224945 [20] WPIDS

CR 1996-335424; 1997-279789; 1998-260529; 1998-446150; 2001-158375

AB US 5614523 A UPAB: 20060113

Benzopyrrole derivs. of formula (I), and their salts are new. In (I), r =0-4; s = 0 or 1; D = residue which combines with carbon atoms to which it is attached to complete pyrrolyl gp.; X=H, phenyl, OH or OMe; R=a gp. of formula (i): R5=1-6C alkyl; 1-4C acyl; T (substd. by benzodioxinyl or benzodioxolyl (both opt. substd. on phenyl ring by 1 or 2 T, TO or halo)); pyridinyl, pyrimidinyl, indolyl, benzofuryl, benzothienyl, pyrazinyl, quinolinyl, isoquinolinyl, pyridazinyl or quinazolinyl (all opt. substd. by 1 or 2 T, CF3, TO or halo); or gp. of formula (ii): B = O or S; Y = residue which combines with atoms to which it is attached to complete triazolyl, imidazolyl, thiazolyl or pyrrolyl ring; T = 1-3C alkyl;

provided that X = H or phenyl when r = 0.

USE - (I) can affect (especially antagonise) the 5HT-1A receptor. They may be used in treatment of withdrawal symptoms, anxiety, depression, hypertension, cognitive disorders, psychosis, sleep disorders, gastric motility disorders, sexual dysfunction, brain trauma, memory loss, eating disorders, obesity, substance abuse, obsessive-compulsive disease, panic disorder, migraine, pain, social

phobia, chronic fatique syndrome, autism, mutism, trichotilomania, etc. Admin. is e.g. oral, transdermal, rectal or parenteral.

L13 ANSWER 7 OF 45 WPIDS COPYRIGHT 2008 THOMSON REUTERS on STN

ACCESSION NUMBER: 1999-045159 [04] WPIDS DOC. NO. CPI: C1999-014068 [04]

TITLE: New hetero:arvl and arvl carboxamide derivatives - are 5HT receptor antagonists used e.g. for treating CNS

disorders such as anxiety, memory disorders and psychiatric disorders

DERWENT CLASS: B05

INVENTOR: WYMAN P A

PATENT ASSIGNEE: (SMIK-C) SMITHKLINE BEECHAM PLC 21

COUNTRY COUNT:

PATENT INFO ABBR.:

PATENT NO KIND DATE WEEK LA PG MAIN IPC WO 9850343 A2 19981112 (199904)* EN 15[0]

APPLICATION DETAILS:

PATENT NO KIND APPLICATION DATE WO 9850343 A2 WO 1998-EP2266 19980414

PRIORITY APPLN. INFO: GB 1997-7830 19970418

AN 1999-045159 [04] WPIDS

AB WO 1998050343 A2 UPAB: 20050828

Heteroaryl and aryl carboxamide derivatives of formula (I) and their salts are new. Ra = bicyclic aryl or bicyclic heterocyclyl containing 1-3 O, N or S, both substituted by R1 and 1-3 R2; or a group of formula R1-P3((R2)a)-A-P2((R3)b)-(i); R1 = H, halo, alkvl, cvcloalkvl,alkylcarbonyl, alkoxy, OH, hydroxyalkyl, hydroxyalkoxy, alkoxyalkoxy, alkanoyl, NO2, CF3, CN, SR9, SOR9, SO2R9, SO2NR10R11, CO2R10, CONR10R11, CO2NR10R11, CONR10(CH2)cCO2R11, (CH2)cNR10R11, (CH2)cCONR10R11, (CH2)cNR10COR11, (CH2)cCO2-alkyl, CO2(CH2)cOR10, NR10R11, NR10CO2R11, NR10CONR10R11, CR10=NOR11 or CNR10=NOR11; R9-R11 = alkv1; C = 1-4; R2, R3= H, halo, alkyl, cycloalkyl, cycloalkenyl, alkoxy, alkanoyl, aryl, acyloxy, OH, NO2, CF3, CN, CO2R10, CONRIDR11 or NR10R11; P2, P3 = phenyl, bicyclic arvl, 5-7 membered heterocyclyl containing 1-3 N, O or S or a bicyclic heterocyclyl containing 1-3 N, O or S; provided that at least one of P2 and P3 = bicyclic group; A = bond, O, S(O)m, CH2 or NR4; m = 0-2; R4 = H or alkyl; R1' = a group R1 or 5-7 membered heterocyclyl containing 1-3 N, O or S and optionally substituted by alkyl, halo or alkanoyl; a,b = 1-3; L = -C(=V)-DG- or -DG-C(=V)-; V = O or S; D = N, C or CH; G = H or alkyl, provided that D = N or CH; or G + Rb1 = (CR16R17)t or (CR16R17)uJ; R16, R17 = H or alkyl; u = 0-3; J = 0, S, CR16=CR17, CR16=N, CR160, CR16S or CR16NR17; B = O, S(O)p, NR6 or CR7=CR8; p = 0-2; R6-R8, Rc, Rd = H or alkyl; Ry = NReRf or 5-7 membered heterocyclyl containing 1-3 O, S or N; Re, Rf = H, alkyl or aralkyl; Rb1, Rb2 = H, halo, OH, alkyl, CF3, alkoxy or aryl; n= 1-4; unless specified otherwise alkyl and alkanoyl moieties have 1-6C and cycloalkyl or cycloalkenyl moieties 3-6C.

USE - (I) are combined 5HT-1A, 5HT-1B

and 5HT-1D receptor antagonists. (I) are expected to be useful for the treatment and prophylaxis of CNS disorders, such as mood disorders, including depression, seasonal affective disorder and dysthymia; anxiety disorders including generalised anxiety, panic disorder, agoraphobia, social phobia, obsessive compulsive disorder and post-traumatic stress disorder; memory disorders including dementia, amnestic disorders and age-associated memory impairment; disorders of eating behaviour, including anorexia nervosa and bulimia nervosa; and sleep disorders. Other CNS disorders include motor disorders such as Parkinson's disease, dementia in Parkinson's disease, neuroleptic-induced Parkinsonism and tardivedyskinesias, as well as other psychiatric disorders. (I) may also be of use in the treatment of endocrine disorders such as hyperprolactinaemia; vasospasm (particularly in the cerebral vasculature); hypertension; disorders in the gastrointestinal tract involving changes in motility and secretion; sexual dysfunction; and hypothermia. ADVANTAGE - (I) are expected to have a fast onset of action.

L13 ANSWER 8 OF 45 USPATFULL on STN ACCESSION NUMBER: 94:104573 USPATFULL TITLE: Piperazine derivatives

Cliffe, Ian A., Slough, England INVENTOR(S):

20091208

White, Alan C., Englefield Green, England

Ifill, Anderson D., Didcot, England

PATENT ASSIGNEE(S): John Wyeth & Brother, Limited, Maidenhead, England (non-U.S. corporation)

NUMBER KIND DATE 19941129 PATENT INFORMATION: US 5369103 APPLICATION INFO.: US 1992-861834 19920619 (7)

APPLICATION INFO.: DISCLAIMER DATE:

> NUMBER DATE _____

PRIORITY INFORMATION: GB 1990-22790 19901019 DOCUMENT TYPE: Utility FILE SEGMENT: Granted FILE SEGMENT: Granted
PRIMARY EXAMINER: Shah, Mukund J.
ASSISTANT EXAMINER: Sripada, P. K.

LEGAL REPRESENTATIVE: Seifert, Arthur G.

NUMBER OF CLAIMS: 4 EXEMPLARY CLAIM: LINE COUNT: 437

CAS INDEXING IS AVAILABLE FOR THIS PATENT. CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L13 ANSWER 9 OF 45 USPATFULL on STN

ACCESSION NUMBER: 2003:65418 USPATFULL

TITLE: Antidepressant azaheterocyclylmethyl derivatives of 2,3-dihydro-1,4-dioxino[2,3-f]quinoline

Tran, Megan, Hoboken, NJ, UNITED STATES INVENTOR(S): Stack, Gary P., Ambler, PA, UNITED STATES

Wyeth, Madison, NJ (U.S. corporation) PATENT ASSIGNEE(S):

NUMBER KIND DATE PATENT INFORMATION: US 2003045542 A1 20030306 US 6599912 B2 20030729 APPLICATION INFO.: US 2002-228744 A1 20020827 (10) RELATED APPLN. INFO.: Continuation of Ser. No. US 2002-95505, filed on 12 Mar 2002, GRANTED, Pat. No. US 6458802

NUMBER DATE

PRIORITY INFORMATION: US 2001-275564P 20010314 (60)

DOCUMENT TYPE: Utility

FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Rebecca R. Barrett, 5 Giralda Farms, Madison, NJ, 07940 NUMBER OF CLAIMS: 42

EXEMPLARY CLAIM:

1762 LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Compounds of the formula: ##STR1##

are useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as pre-menstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa, bulimia nervosa, vasomotor flushing, cocaine and alcohol addiction, sexual dysfunction and related illnesses.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L13 ANSWER 10 OF 45 USPATFULL on STN

ACCESSION NUMBER: 2002:254367 USPATFULL

TITLE: Antidepressant azaheterocyclymethyl derivatives of 2,3-dihydro-1,4-dioxino [2,3-f]quinoline

INVENTOR(S): Tran, Megan, Hoboken, NJ, United States

Stack, Gary P., Ambler, PA, United States PATENT ASSIGNEE(S): Wyeth, Madison, NJ, United States (U.S. corporation)

NUMBER KIND DATE US 6458802 B1 20021001 US 2002165245 A1 20021107 PATENT INFORMATION: APPLICATION INFO.: US 2002-95505 20020312 (10)

NUMBER DATE

PRIORITY INFORMATION: US 2001-275564P 20010314 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: GRANTED

PRIMARY EXAMINER: Aulakh, Charanjit S. LEGAL REPRESENTATIVE: Barrett, Rebecca R.

NUMBER OF CLAIMS: 42

EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s) LINE COUNT: 1708

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Compounds of the formula: ##STR1## AB

> are useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as pre-menstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa, bulimia nervosa, vasomotor flushing, cocaine and alcohol addiction, sexual dysfunction and related illnesses.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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LAST RELOADED: May 16, 2008 (20080516/UP).

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